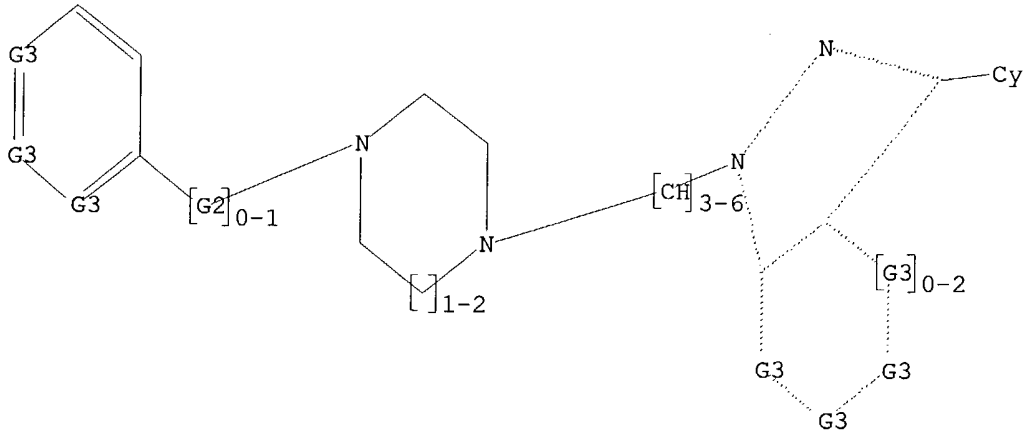
STR



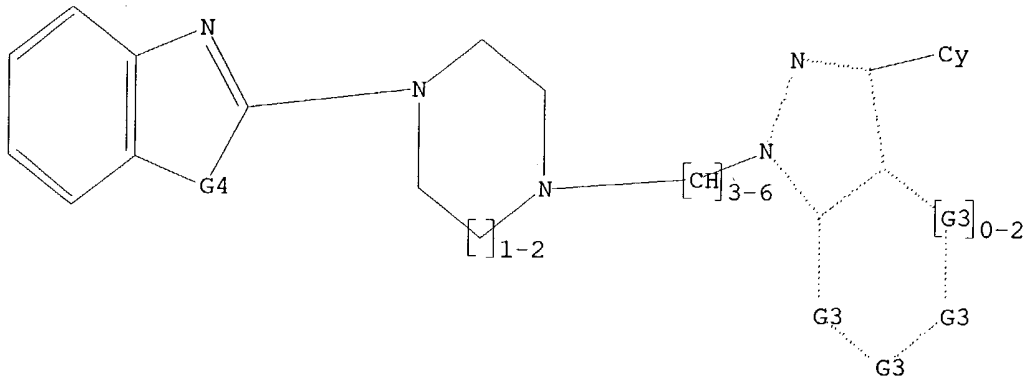
G1 C,O,S,N

G2 C,S

G3 C,N

Structure attributes must be viewed using STN Express query preparation.

=> d l23
L23 HAS NO ANSWERS
L23 STR



G1 C,O,S,N

G2 C,S

G3 C,N

G4 O,S,N

Structure attributes must be viewed using STN Express query preparation.

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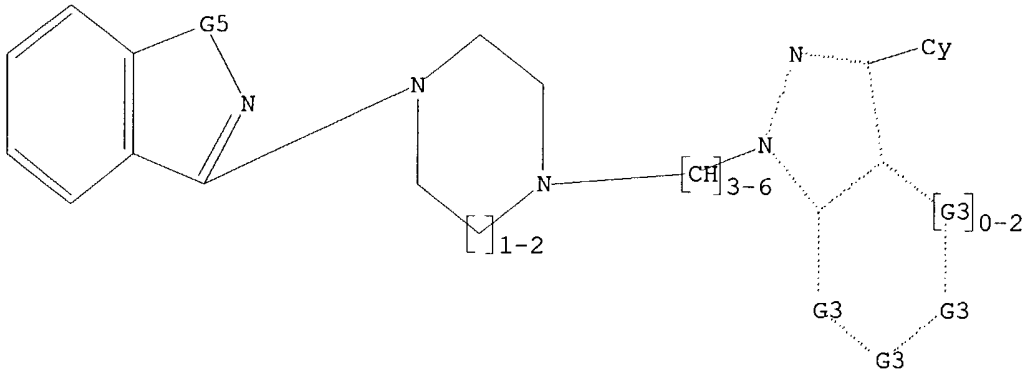
L24 HAS NO ANSWERS
L24 STR



G1 C,O,S,N

G2 C,S

G3 C,N

G4 O,S,N

G5 O,S

Structure attributes must be viewed using STN Express query preparation.

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=> s l22 sss full
FULL SEARCH INITIATED 19:12:25 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    7689 TO ITERATE

100.0% PROCESSED    7689 ITERATIONS                          241 ANSWERS
SEARCH TIME: 00.00.01

L25          241 SEA SSS FUL L22

=> s l23 sss full
FULL SEARCH INITIATED 19:12:38 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     189 TO ITERATE

100.0% PROCESSED     189 ITERATIONS                            3 ANSWERS
SEARCH TIME: 00.00.01

L26            3 SEA SSS FUL L23

=> s l24 sss full
FULL SEARCH INITIATED 19:12:45 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      42 TO ITERATE

100.0% PROCESSED      42 ITERATIONS                            8 ANSWERS
SEARCH TIME: 00.00.01

L27            8 SEA SSS FUL L24

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              466.68    1631.42

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                 ENTRY    SESSION
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CA SUBSCRIBER PRICE 0.00 -31.61

FILE 'CAPLUS' ENTERED AT 19:12:59 ON 26 JUL 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 26 Jul 2004 VOL 141 ISS 5
FILE LAST UPDATED: 25 Jul 2004 (20040725/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l25 or l26 or l27
9 L25
4 L26
4 L27
L28 9 L25 OR L26 OR L27

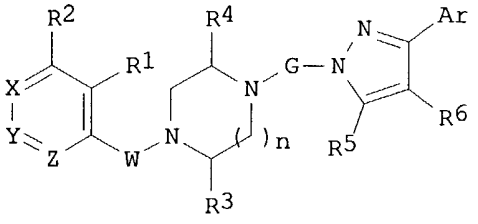
=> d l28 1-9 ibib abs hitstr

=> d l28 1-9 ibib abs hitstr

L28 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:300610 CAPLUS
DOCUMENT NUMBER: 138:304307
TITLE: Preparation of piperazinylpropylpyrazolopyridines for treatment of allergy
INVENTOR(S): Breitenbucher, J. Guy; Cai, Hui; Edwards, James P.; Grice, Cheryl A.; Gu, Yin; Gustin, Darin J.; Karlsson, Lars; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sun, Siquan; Tays, Kevin L.; Thumond, Robin L.; Wei, Jianmei
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 47 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003073672	A1	20030417	US 2001-947041	20010905

PRIORITY APPLN. INFO.: US 2001-947041 20010905
OTHER SOURCE(S): MARPAT 138:304307
GI



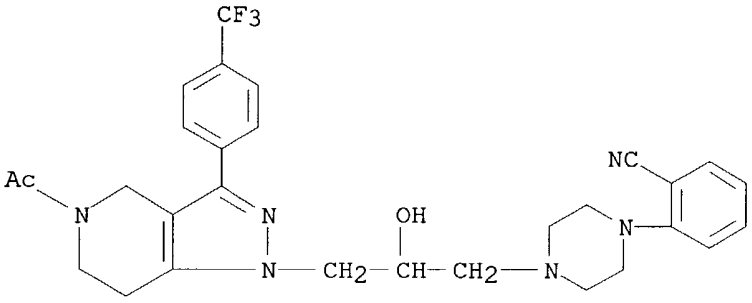
I

AB Use of title compds. [I; R1 = H, N3, halo, alkoxy, OH, alkyl, alkenyl, cyano, NO2, amino, acyl, etc.; R2 = H, halo, alkoxy, alkyl, alkenyl, haloalkyl, cyano, amino; R1R2, R5R6 = atoms to form a (substituted) (unsatd.) 5-7 membered (hetero)cycle; R3, R4 = H, alkyl; R5, R6 = H, alkyl, alkenyl, alkoxy, alkylthio, halo, 4-7 membered carbocyclyl, heterocyclyl; Ar = (substituted) mono- or bicyclic aryl, heteroaryl; W = SO2, CO, bond, CHR20; R20 = H, alkyl, Ph, PhCH2, naphthyl, heterocyclyl; X = N, R12C; Y = N, R13C; Z = N, R14C; R12-R14 = H, halo, alkoxy, alkyl, alkenyl, cyano, NO2, amino, acyl, haloalkyl, heterocyclyl, heterocyclylalkyl, sulfonylamino, etc.; WR1 = atoms to form rings; G = (substituted) alkylene; n = 1,2], for treatment of allergy is claimed. Thus, 1-[3-(4-chlorophenyl)-1-(3-chloropropyl)-1,4,6,7-tetrahydropyrazolo[4.3-c]pyridin-5-yl]ethanone (preparation given), 1-(2-fluorophenyl)piperazine, K2CO3, and Bu4NI were stirred in MeCN for 7 days to give 41% 1-[3-(4-chlorophenyl)-1-[3-[4-(2-fluorophenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone. The latter inhibited human cathepsin S with IC50 = 0.89 μM.

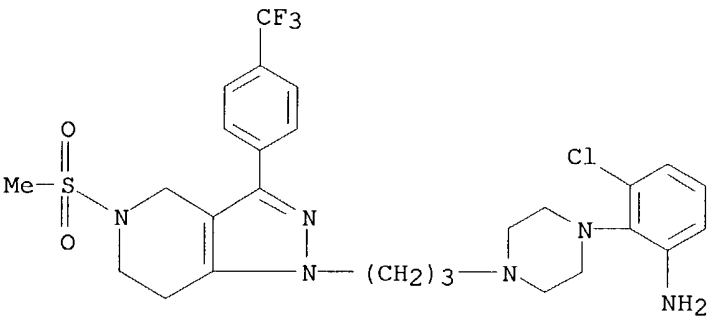
IT **400802-47-3P 400802-70-2P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of piperazinylpropylpyrazolopyridines for treatment of allergy)

RN 400802-47-3 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-α-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

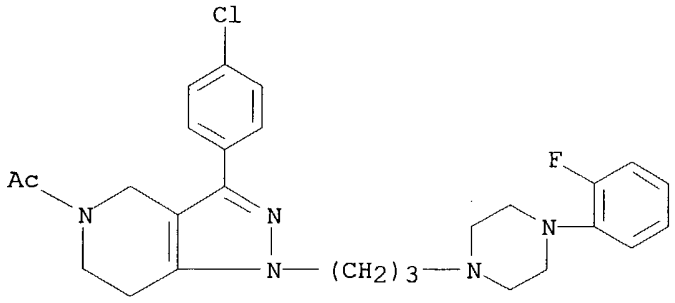


RN 400802-70-2 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(2-amino-6-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

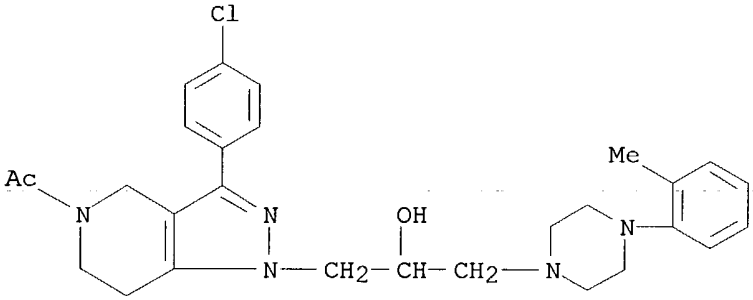


IT **400802-42-8P 400802-43-9P 400802-44-0P**
400802-45-1P 400802-46-2P 400802-49-5P
400802-50-8P 400802-51-9P 400802-52-0P
400802-53-1P 400802-54-2P 400802-55-3P
400802-56-4P 400802-57-5P 400802-58-6P
400802-59-7P 400802-60-0P 400802-61-1P
400802-62-2P 400802-63-3P 400802-64-4P
400802-65-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinylpropylpyrazolopyridines for treatment of allergy)

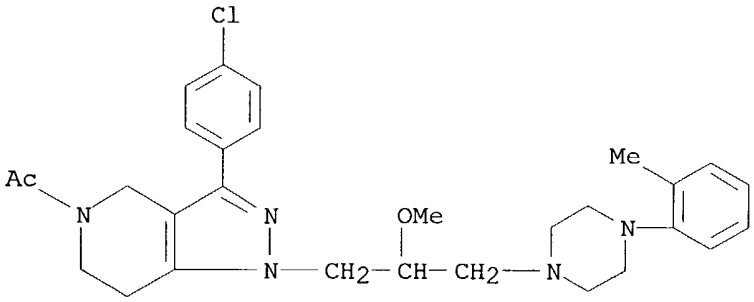
RN 400802-42-8 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-1-[3-[4-(2-fluorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)



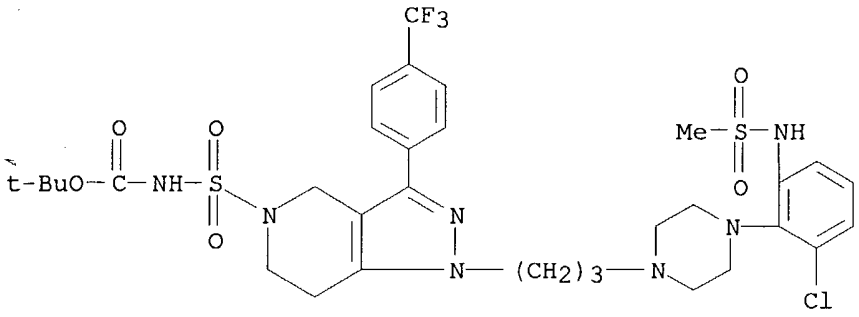
RN 400802-43-9 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-α-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)



RN 400802-44-0 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1-[2-methoxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)



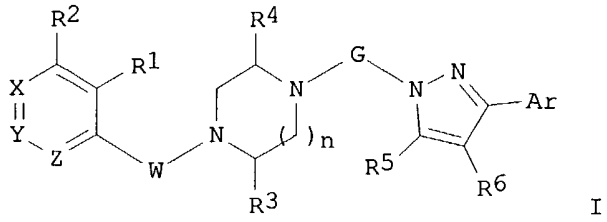
RN 400802-45-1 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-α-[[4-(2-hydroxyphenyl)-1-piperazinyl]methyl]-3-(4-iodophenyl)- (9CI) (CA INDEX NAME)



L28 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:282117 CAPLUS
DOCUMENT NUMBER: 138:304277
TITLE: Preparation of 3-phenyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridines as cathepsin S inhibitors for treating allergies
INVENTOR(S): Breitenbucher, J. Guy; Cai, Hui; Edwards, James P.; Grice, Cheryl A.; Gu, Yin; Gustin, Darin J.; Karlsson, Lars; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sun, Siquan; Tays, Kevin L.; Thurmond, Robin L.; Wei, Jianmei
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 47 pp., Cont.-in-part of U.S. Ser. No. 928,122.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003069240	A1	20030410	US 2002-75673	20020213
US 2002040020	A1	20020404	US 2001-928122	20010810

PRIORITY APPLN. INFO.: US 2001-928122 A2 20010810
US 2000-225138P P 20000814
OTHER SOURCE(S): MARPAT 138:304277
GI



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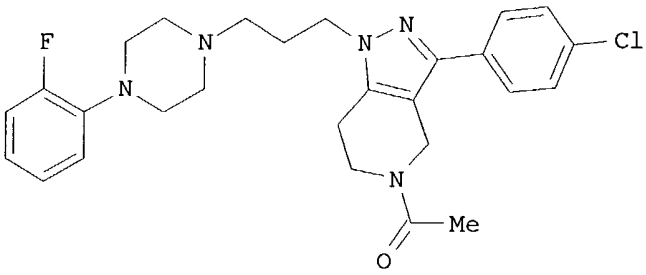


II

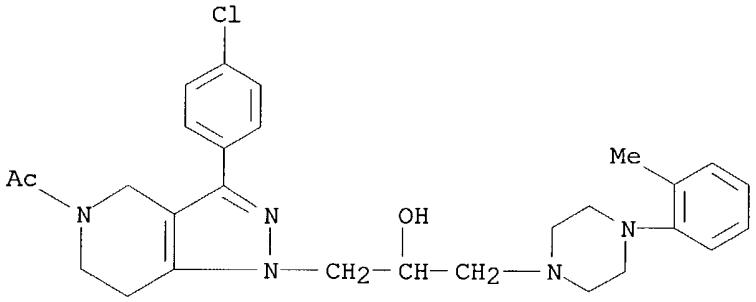
AB Title compds. I [wherein Ar = (un)substituted mono- or bicyclic (hetero)aryl; G = (un)substituted alkenediyl or alkanediyl; W = SO2, CO, (un)substituted C, or a bond; or W and R1 taken together with the 6 membered ring to which they are attached form benzimidazolyl, benzothiazolyl, benz(is)oxazolyl, etc.; X, Y, and Z = independently N or (un)substituted C; R1 = H, N3, halo, alkoxy, OH, alkyl, alkenyl, CN, NO2, acyl, or (un)substituted amino, carboxy, carbamoyl, or sulfamoyl; R2 = H, halo, alkoxy, (halo)alkyl, alkenyl, CN, or (un)substituted amino; or R1R2 = (un)substituted carbocyclic or heterocyclic ring; R3 and R4 = independently H or alkyl; R5 and R6 = independently H, alkyl, alkenyl, alkoxy, alkylthio, halo, carbocyclyl, or heterocyclyl; or R5R6 = (un)substituted carbocyclic or heterocyclic ring; n = 1-2; or pharmaceutically acceptable salts, amides, or esters thereof] were prepared as cathepsin S inhibitors for the treatment of an allergic condition, including an atopic allergic conditions. For example, N-acetyl-4-piperidone was condensed with morpholine in the presence of TsOH to give the enamine. Reaction with 4-ClC6H4COCl , followed by cycloaddn. with H2NNH2, gave 1-[3-(4-chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone (42%). Alkylation with 1-bromo-3-chloropropane (83%) and addition of 1-(2-fluorophenyl)piperazine afforded II (41%). The latter inhibited recombinant human cathepsin S with IC50 of 0.89 μM.

IT **400802-43-9P**, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolyl-piperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone **400802-46-2P**, 1-[1-[2-Hydroxy-3-(4-o-tolyl-piperazin-1-yl)propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone **400802-47-3P**, 2-(4-[3-[5-Acetyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl)benzonitrile **400802-50-8P**, 1-[3-[4-(2-Cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester **400802-70-2P**, 3-Chloro-2-(4-[3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)phenylamine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(antiallergy agent; preparation of pyrazolopyridines antiallergy agents

starting from piperidones, benzoyl chlorides and hydrazine)

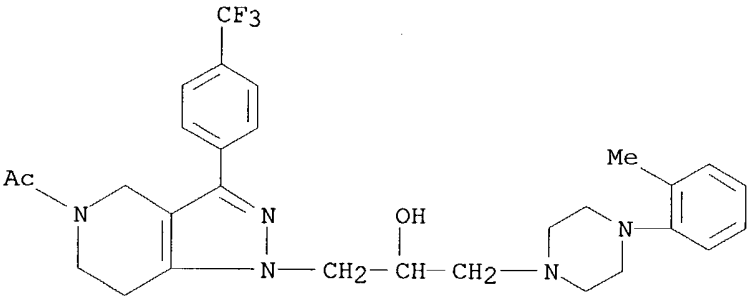
RN 400802-43-9 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-α-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)



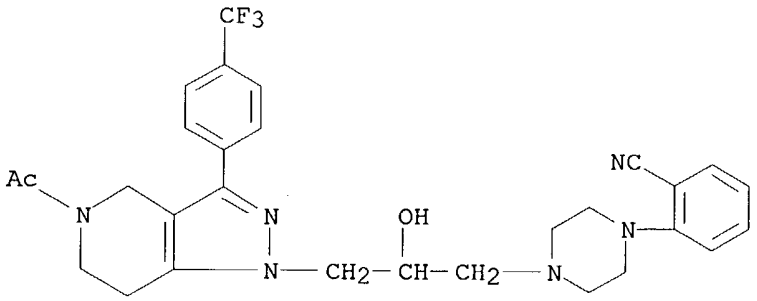
RN 400802-46-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-α-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 400802-47-3 CAPLUS

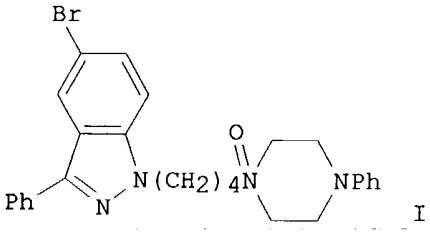
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-α-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 400802-50-8 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(2-cyanophenyl)-1-

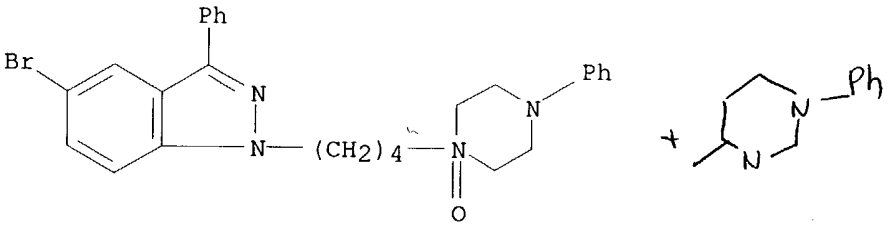
ACCESSION NUMBER: 2002:940422 CAPLUS
DOCUMENT NUMBER: 138:304240
TITLE: Synthesis, molecular and crystal structure, and properties of 1-[4-(5-bromo-3-phenylindazol-1-yl)butyl]-4-phenylpiperazine 1-oxide hydrochloride
AUTHOR(S): Andronati, S. A.; Kolodeev, G. E.; Makan, S. Yu.; Simonov, Yu. A.; Chumakov, Yu. M.; Gdaniec, M.
CORPORATE SOURCE: Fiz.-Khim. Inst. im. A. V. Bogatskogo, NAN Ukr., Ukraine
SOURCE: Fiziologichno Aktivni Rechovini (2002), (1), 4-9
CODEN: FARICW
PUBLISHER: Natsional'na Farmatsevtichna Akademiya Ukraini
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 138:304240
GI



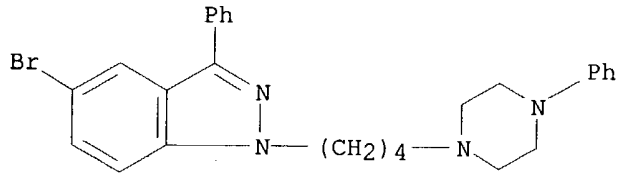
I

AB The title compound (I) was prepared by oxidation of the piperazine derivative with H2O2 in the presence of acetic acid in 1,4-dioxane. The mol. and crystal structure of I was studied by x-ray crystallog. and the CNDO/2 computation method. I is a complex obtained by proton transfer from HCl to the O of the N-oxide group. I showed no affinity for 5-HT1A receptors of the CNS.

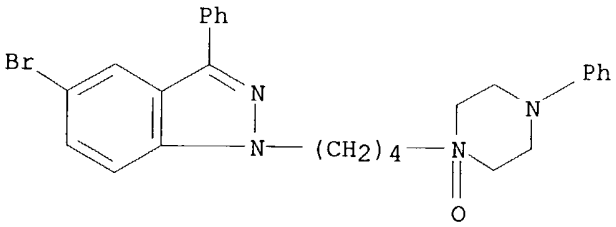
IT **508169-76-4**
RL: PRP (Properties)
(CNDO/2 calcn. of structure of)
RN 508169-76-4 CAPLUS
CN 1H-Indazole, 5-bromo-1-[4-(1-oxido-4-phenyl-1-piperazinyl)butyl]-3-phenyl- (9CI) (CA INDEX NAME)



IT **508169-75-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-oxidation by hydrogen peroxide)
RN 508169-75-3 CAPLUS
CN 1H-Indazole, 5-bromo-3-phenyl-1-[4-(4-phenyl-1-piperazinyl)butyl]- (9CI) (CA INDEX NAME)



IT **508169-77-5P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and x-ray anal. of)
RN 508169-77-5 CAPLUS
CN 1H-Indazole, 5-bromo-1-[4-(1-oxido-4-phenyl-1-piperazinyl)butyl]-3-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L28 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:184899 CAPLUS
DOCUMENT NUMBER: 136:247576
TITLE: Preparation of 3-phenyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridines as cathepsin S inhibitors for treating allergies
INVENTOR(S): Breitenbucher, J. Guy; Cai, Hui; Edwards, James P.; Grice, Cheryl A.; Gu, Yin; Gustin, Darin J.; Karlsson, Lars; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sun, Siquan; Tays, Kevin L.; Thurmond, Robin L.; Wei, Jianmei
PATENT ASSIGNEE(S): Ortho McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002020012	A2	20020314	WO 2001-US27479	20010905
WO 2002020012	A3	20020613		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,

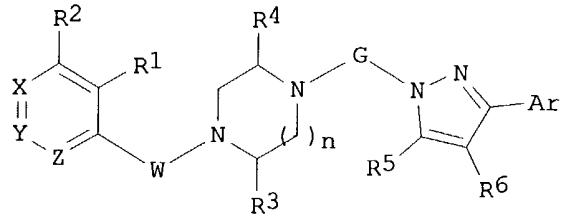
UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002040020	A1	20020404	US 2001-928122	20010810
AU 2001088730	A5	20020322	AU 2001-88730	20010905
EP 1315491	A2	20030604	EP 2001-968486	20010905
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004508329	T2	20040318	JP 2002-524496	20010905

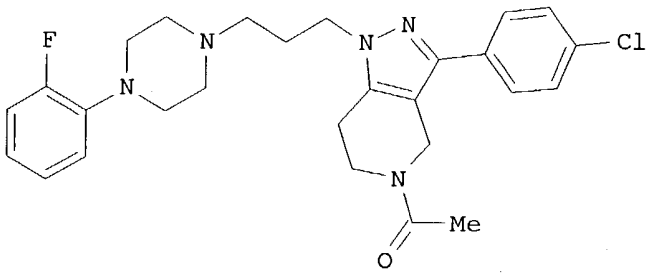
PRIORITY APPLN. INFO.: US 2000-230407P P 20000906
US 2001-928122 A 20010810
US 2000-225138P P 20000814
WO 2001-US27479 W 20010905

OTHER SOURCE(S): MARPAT 136:247576

GI



I



II

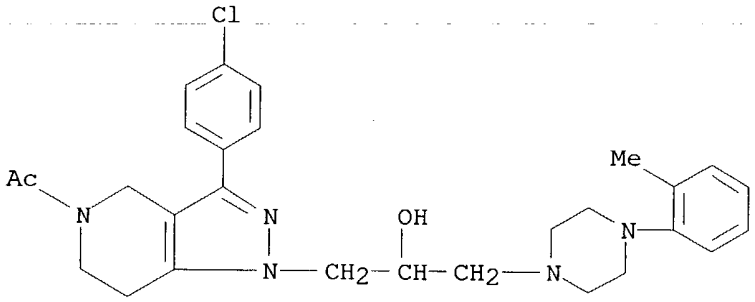
AB Title compds. I [wherein Ar = (un)substituted mono- or bicyclic (hetero)aryl; G = (un)substituted alkenediyl or alkanediyl; W = SO2, CO, (un)substituted C, or a bond; or W and R1 taken together with the 6 membered ring to which they are attached form benzimidazolyl, benzothiazolyl, benz(is)oxazolyl, etc.; X, Y, and Z = independently N or (un)substituted C; R1 = H, N3, halo, alkoxy, OH, alkyl, alkenyl, CN, NO2, acyl, or (un)substituted amino, carboxy, carbamoyl, or sulfamoyl; R2 = H, halo, alkoxy, (halo)alkyl, alkenyl, CN, or (un)substituted amino; or R1R2 = (un)substituted carbocyclic or heterocyclic ring; R3 and R4 = independently H or alkyl; R5 and R6 = independently H, alkyl, alkenyl, alkoxy, alkylthio, halo, carbocyclyl, or heterocyclyl; or R5R6 = (un)substituted carbocyclic or heterocyclic ring; n = 1-2; or pharmaceutically acceptable salts, amides, or esters thereof] were prepared as cathepsin S inhibitors for the treatment of an allergic condition, including an atopic allergic conditions. For example, N-acetyl-4-piperidone was condensed with morpholine in the presence of TsOH to give the enamine. Reaction with 4-ClC6H4COCl , followed by cycloaddn. with H2NNH2, gave 1-[3-(4-chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone (42%). Alkylation with 1-bromo-3-chloropropane (83%) and addition of 1-(2-fluorophenyl)piperazine

afforded II (41%). The latter inhibited recombinant human cathepsin S with IC50 of 0.89 μM.

IT **400802-43-9P**, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolyl-piperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone **400802-46-2P**, 1-[1-[2-Hydroxy-3-(4-o-tolyl-piperazin-1-yl)propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone **400802-47-3P**, 2-(4-[3-[5-Acetyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl)benzonitrile **400802-50-8P**, 1-[3-[4-(2-Cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester **400802-70-2P**, 3-Chloro-2-(4-[3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)phenylamine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(antiallergy agent; preparation of pyrazolopyridines antiallergy agents starting from piperidones, benzoyl chlorides and hydrazine)

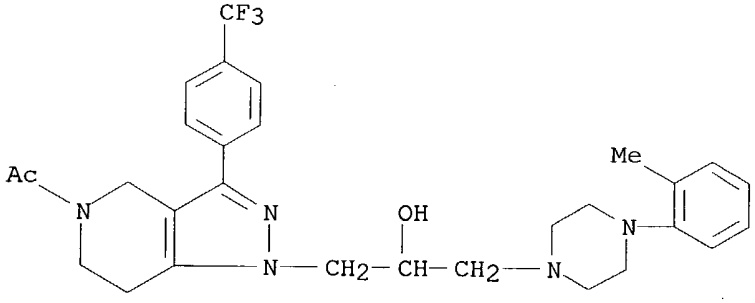
RN 400802-43-9 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-α-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)



RN 400802-46-2 CAPLUS

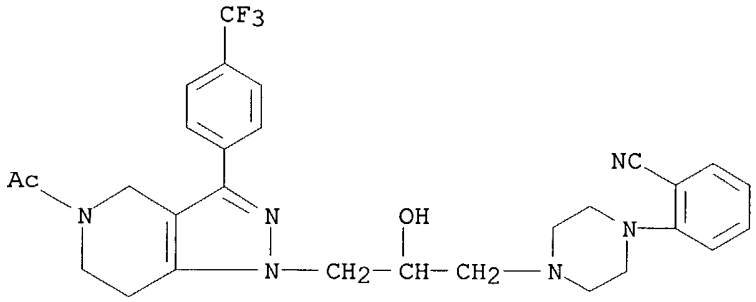
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-α-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



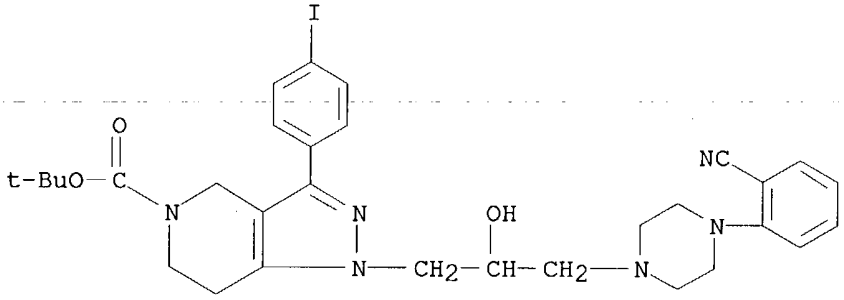
RN 400802-47-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-α-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-

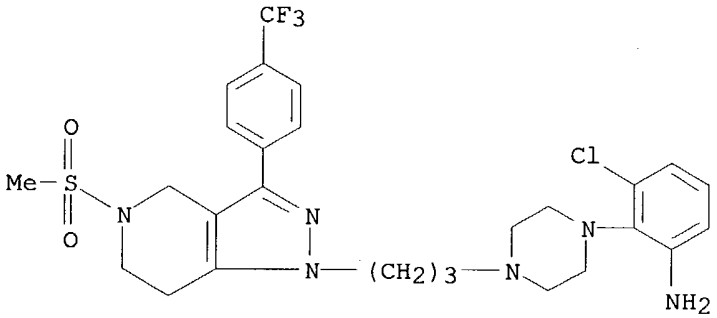
(9CI) (CA INDEX NAME)



RN 400802-50-8 CAPLUS
CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

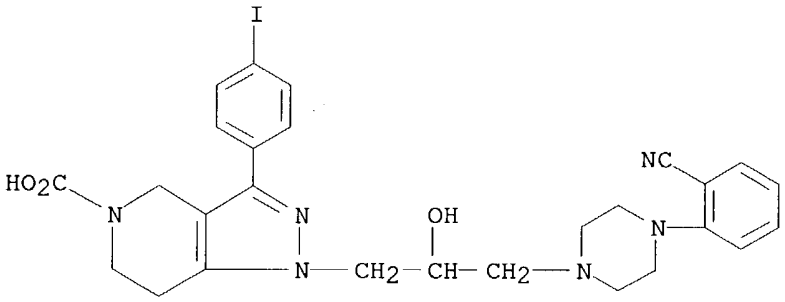


RN 400802-70-2 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(2-amino-6-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



IT **400802-42-8P**, 1-[3-(4-Chlorophenyl)-1-[3-[4-(2-fluorophenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone **400802-44-0P**, 1-[3-(4-Chlorophenyl)-1-[2-methoxy-3-(4-o-tolyl-piperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone **400802-45-1P**, 1-[1-[2-Hydroxy-3-[4-(2-hydroxyphenyl)piperazin-1-yl]propyl]-3-(4-iodophenyl)-1,4,6,7-

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)- (9CI) (CA INDEX NAME)



L28 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:142707 CAPLUS

DOCUMENT NUMBER: 136:200181

TITLE: Substituted and/or fused pyrazoles, particularly piperazinylpropyl-substituted pyrazolopyridines, useful as cathepsin S inhibitors, and their pharmaceutical compositions and use as immunosuppressants

INVENTOR(S): Breitenbucher, J. Guy; Cai, Hui; Edwards, James P.; Grice, Cheryl A.; Gustin, Darin J.; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Tays, Kevin L.; Wei, Jianmei

PATENT ASSIGNEE(S): Ortho McNeil Pharmaceutical, Inc., USA

SOURCE: PCT Int. Appl., 161 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014314	A2	20020221	WO 2001-US25289	20010810
WO 2002014314	A3	20020606		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001081255	A5	20020225	AU 2001-81255	20010810
US 2002040020	A1	20020404	US 2001-928122	20010810
EP 1309591	A2	20030514	EP 2001-959731	20010810
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004512272	T2	20040422	JP 2002-519454	20010810

PRIORITY APPLN. INFO.: US 2000-225138P P 20000814
US 2001-928122 A 20010810

WO 2001-US25289 W 20010810

OTHER SOURCE(S): MARPAT 136:200181

GI

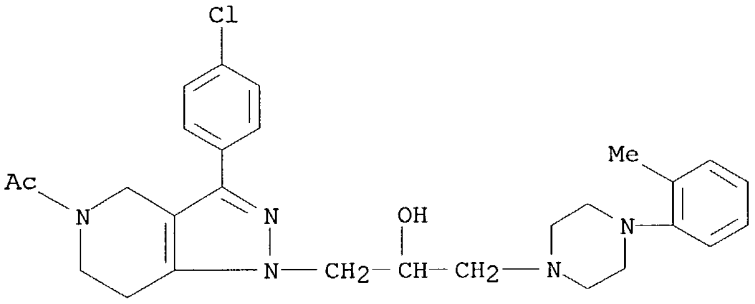
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Substituted pyrazoles I, methods of manufacturing them, compns. containing them, and methods of using them to treat, for example, autoimmune diseases mediated by cathepsin S, are described [R1 = H, N3, halo, alkoxy, OH, alkyl, alkenyl, cyano, NO2, (un)substituted NH2, acyl, etc.; R2 = H, halo, alkoxy, alkyl, alkenyl, haloalkyl, cyano, or (un)substituted NH2; or R1R2 = atoms to form (un)substituted (un)saturated (non)aromatic 5- to 7-membered carbo- or heterocyclic ring; R3, R4 = H, alkyl; R5, R6 = H, alkyl, alkenyl, alkoxy, alkylthio, halo, or 4- to 7-membered carbo- or heterocyclyl; or R5R6 = atoms to form (un)substituted (un)saturated (non)aromatic 5- to 7-membered carbo- or heterocyclic ring; n = 1 or 2; G = (un)substituted C3-6 alkanediyl or alkenediyl (substituents = OH, halo, oxo, aminoalkyl, etc.); X, Y, Z = N, (un)substituted CH; Ar = (un)substituted mono- or bicyclic (hetero)aryl; W = SO2, CO, (un)substituted CH2, bond; or WR1 = atoms to form a benzoxazol-2-yl, benzothiazol-2-yl, benzimidazol-2-yl, 1,2-benzisoxazol-3-yl, 1,2-benzisothiazol-3-yl, or 1,1-dioxo-1,2-benzothiazol-3-yl ring; including stereoisomers and pharmaceutically acceptable salts, esters, and amides]. Claimed usages include treatment of lupus, rheumatoid arthritis, and particularly asthma, and inhibition of tissue transplant rejection. Approx. 250 individual compds. I were prepared and/or claimed, with detailed prepns. given for 24 compds. For instance, 4-(2-chloro-6-methanesulfonylaminophenyl)piperazine-1-carboxylic acid tert-Bu ester (prepared in 4 steps) was deprotected with TFA and coupled with the corresponding epoxide (prepared in several steps) to give title compound II, a preferred compound In an assay for inhibition of recombinant human cathepsin S in vitro, II had an IC50 of 0.06 μM. Compound III was another of three specifically preferred compds.

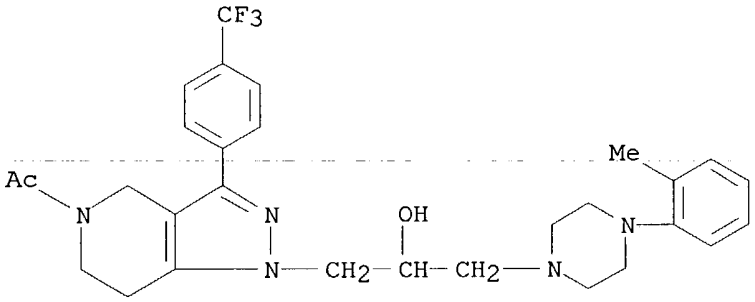
IT **400802-43-9P**, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone **400802-46-2P**, 1-[1-[2-Hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone **400802-47-3P**, 2-[4-[3-[5-Acetyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile **400802-50-8P**, 1-[3-[4-(2-Cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester **400802-70-2P**, 3-Chloro-2-[4-[3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]phenylamine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of piperazinylpropyl-substituted pyrazolopyridines and analogs as cathepsin S inhibitors)

RN 400802-43-9 CAPLUS

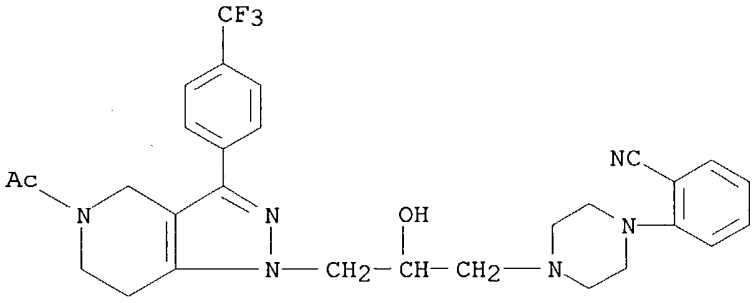
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-α-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)



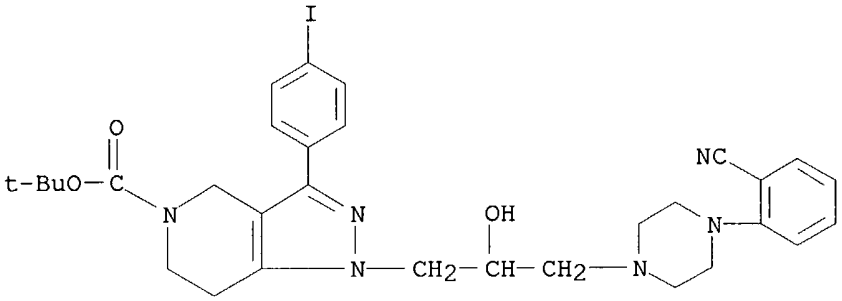
RN 400802-46-2 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-α-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



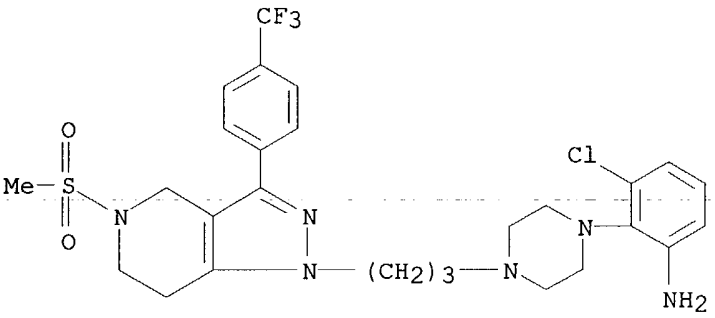
RN 400802-47-3 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-α-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 400802-50-8 CAPLUS
CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

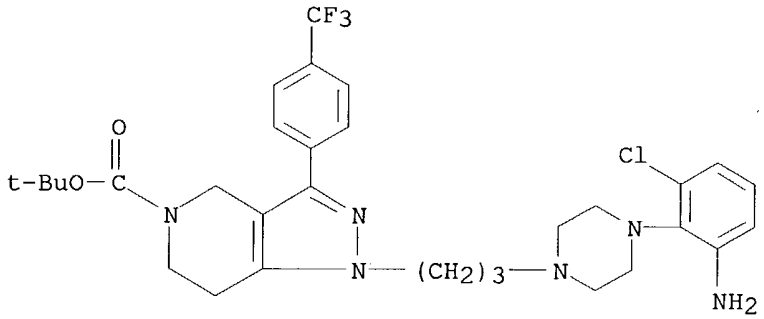


RN 400802-70-2 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(2-amino-6-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

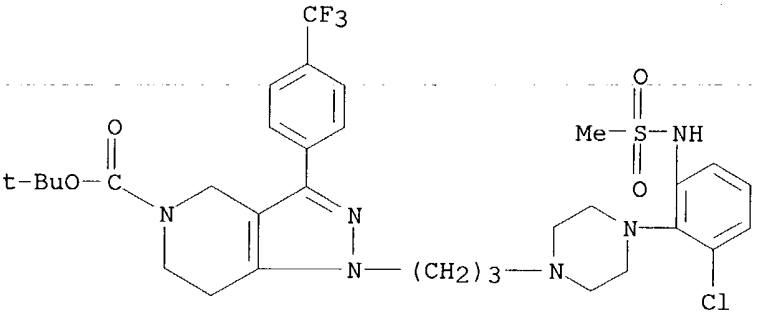


IT **400802-42-8P**, 1-[3-(4-Chlorophenyl)-1-[3-[4-(2-fluorophenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone **400802-44-0P**, 1-[3-(4-Chlorophenyl)-1-[2-methoxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone **400802-45-1P**, 1-[1-[2-Hydroxy-3-[4-(2-hydroxyphenyl)piperazin-1-yl]propyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone **400802-49-5P**, 1-[1-[2-[[2-(Piperazin-1-yl)ethyl]amino]-3-(4-o-tolylpiperazin-1-yl)propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone **400802-51-9P**, 1-[3-[4-(2-Cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide **400802-52-0P**, Carbamic acid 1-[[5-(carbamoyl)-3-(4-iodophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]methyl]-2-[4-(2-cyanophenyl)piperazin-1-yl]ethyl ester **400802-53-1P**, 1-[3-(3-Amino-4-chlorophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone **400802-54-2P**, (R)-1-[3-(4-Bromophenyl)-1-[3-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone **400802-55-3P**, 2-[4-[3-[5-Acetyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-fluoropropyl]piperazin-1-yl]benzonitrile **400802-56-4P**, [3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]oxoacetic acid methyl ester **400802-57-5P**, 5-Methanesulfonyl-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine **400802-58-6P**, 1-[3-Chloro-2-[4-[3-[5-methanesulfonyl-

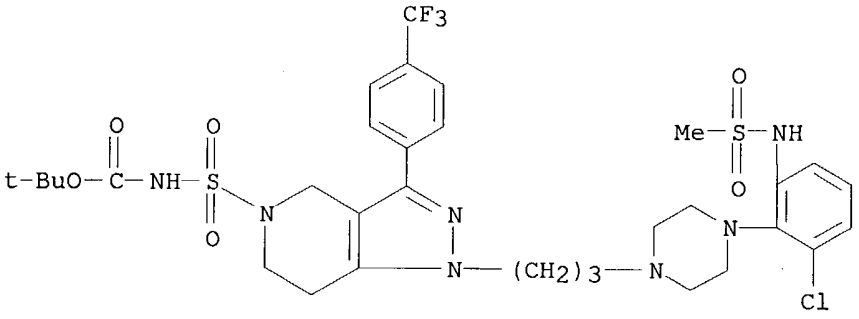
(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 400803-09-0 CAPLUS
CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 400803-10-3 CAPLUS
CN Carbamic acid, [[1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-5H-pyrazolo[4,3-c]pyridin-5-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L28 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:148062 CAPLUS
DOCUMENT NUMBER: 130:276243

09/928,122

TITLE: Synthesis of 3-aryl-1-[(4-phenyl-1-piperazinyl)butyl]indazole derivatives and their affinity to 5-HT1a serotonin and dopamine D1 receptors

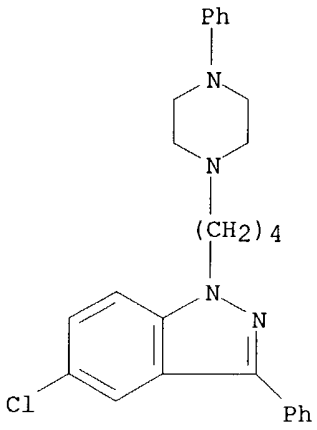
AUTHOR(S): Andronati, S.; Sava, Vassil; Makan, S.; Kolodeev, G.
CORPORATE SOURCE: Bogatsky Physico-Chemical Institute, Nat. Acad. Sci. Ukraine, Odessa, 270086, Ukraine

SOURCE: Pharmazie (1999), 54(2), 99-101
CODEN: PHARAT; ISSN: 0031-7144
PUBLISHER: Govi-Verlag Pharmazeutischer Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Eight 3-arylindazole derivs. were synthesized and their affinity to 5-HT1A serotonin and D1 dopamine receptors was investigated by radioligand anal. Quant. structure-activity relationships were studied using the Free-Wilson model. An increase in affinity to dopamine D1 receptors within substituents Br>Cl>CH3 at the 5-position of the 3-arylindazole mol. was observed Addition of a Cl2 atom to the ortho-position the of Ph ring let to even higher activity. Replacement of the H2 atom at the 1st position of the 3-arylindazole on the (phenylpiperazine)butyl substituent caused an increase of affinity and did not change the trends of affinity dependence on structure. An inverse dependence on the structure of the studied compds. was observed for the serotonin 5-HT1A receptors. Compds. containing a Me group at the 5-position of mol. were more active than compds. containing halogens. A Cl2 atom at the ortho-position of the Ph ring decreased affinity. Replacement of the H2 atom at the 1st position of the mol. on the (phenylpiperazine)butyl substituent led to an increase in affinity. Selectivity of the studied compds. varied within a wide range. Generally, the presence of the 3-arylindazole fragment in the new buspirone analogs increased their affinity to dopamine receptors and reduced their affinity to serotonin receptors. Compds. containing a Br2 atom in the 3-arylindazole moiety may be promising ligands for D1 receptors.

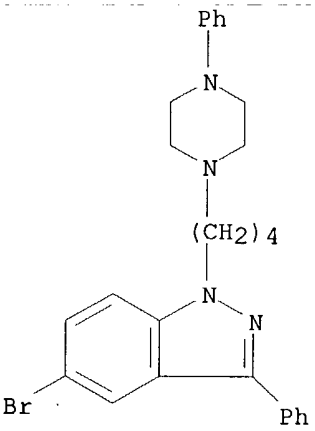
IT **163434-05-7P 163434-06-8P 163434-07-9P 163434-08-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of 3-arylindazole derivs. and their affinity to 5-HT1a serotonin and dopamine D1 receptors)

RN 163434-05-7 CAPLUS
CN 1H-Indazole, 5-chloro-3-phenyl-1-[4-(4-phenyl-1-piperazinyl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)



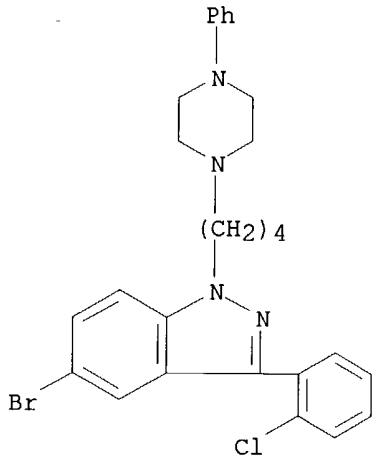
● HCl

RN 163434-06-8 CAPLUS
CN 1H-Indazole, 5-bromo-3-phenyl-1-[4-(4-phenyl-1-piperazinyl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)



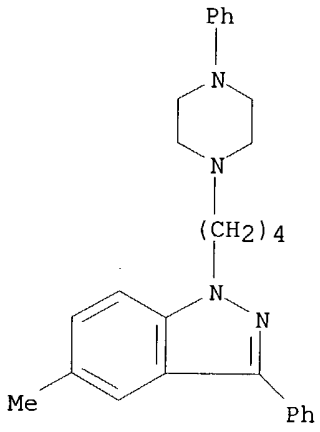
● HCl

RN 163434-07-9 CAPLUS
CN 1H-Indazole, 5-bromo-3-(2-chlorophenyl)-1-[4-(4-phenyl-1-piperazinyl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 163434-08-0 CAPLUS
CN 1H-Indazole, 5-methyl-3-phenyl-1-[4-(4-phenyl-1-piperazinyl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)



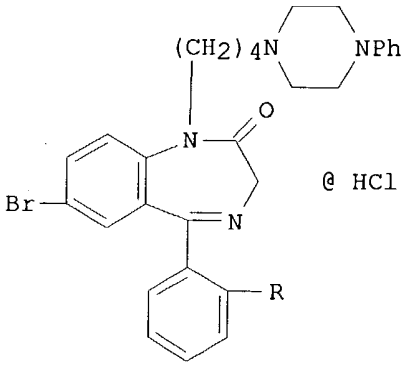
● HCl

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

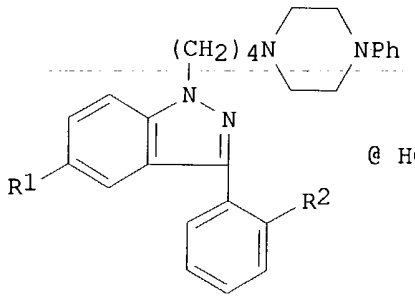
L28 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:490642 CAPLUS
DOCUMENT NUMBER: 122:314528
TITLE: Synthesis of 1-[4-(4-phenyl-1-piperazinyl)butyl]-1,2-dihydro-3H-1,4-benzodiazepin-2-ones and -1H-indazoles and their affinity for benzodiazepine receptors
AUTHOR(S): Andronati, S. A.; Kolodeyev, G. Ye.; Makan, S. Yu.; Sava, V. M.; Yavorsky, A. S.
CORPORATE SOURCE: Fiz.-Khim. Inst. im. A.V. Bogatskogo, Odessa, Ukraine

09/928,122

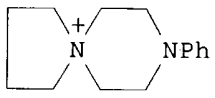
SOURCE: Dopovidi Akademii Nauk Ukraini (1994), (8), 126-31
CODEN: DNUKEM
PUBLISHER: Naukova Dumka
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI



I



II



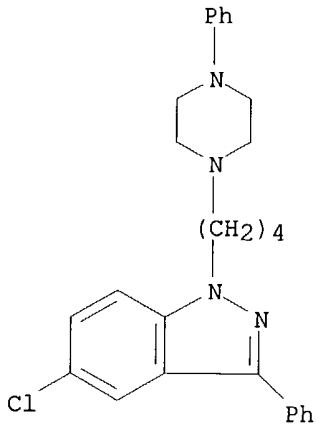
III

AB Title compds. I (R = H, Cl) and II (R1 = Cl, Br, Me, R2 = H; R1 = Br, R2 = Cl) were prepared by reaction of spiro compound III with 1-unsubstituted benzodiazepinones and indazoles. The effect of the (phenylpiperazinyl)butyl group on the affinity to benzodiazepine receptors was examined

IT **163434-05-7P 163434-06-8P 163434-07-9P 163434-08-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(effect of (phenylpiperazinyl)butyl group on benzodiazepine receptor affinity of benzodiazepinones and indazoles)

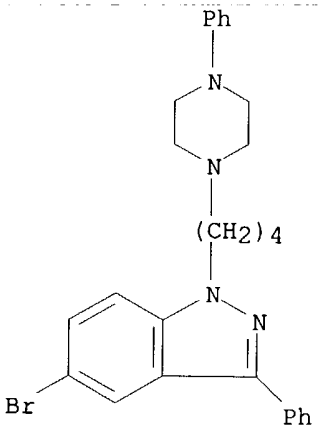
RN 163434-05-7 CAPLUS

CN 1H-Indazole, 5-chloro-3-phenyl-1-[4-(4-phenyl-1-piperazinyl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)



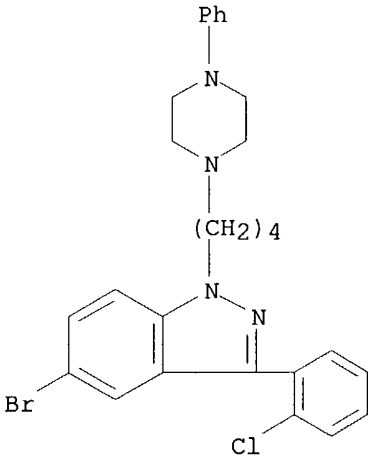
● HCl

RN 163434-06-8 CAPLUS
CN 1H-Indazole, 5-bromo-3-phenyl-1-[4-(4-phenyl-1-piperazinyl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)



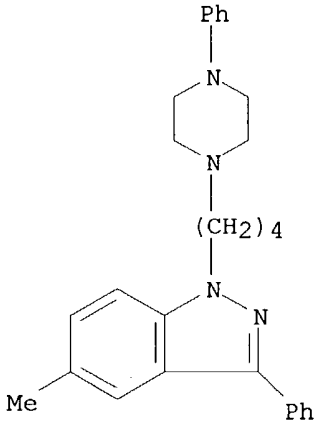
● HCl

RN 163434-07-9 CAPLUS
CN 1H-Indazole, 5-bromo-3-(2-chlorophenyl)-1-[4-(4-phenyl-1-piperazinyl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 163434-08-0 CAPLUS
CN 1H-Indazole, 5-methyl-3-phenyl-1-[4-(4-phenyl-1-piperazinyl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

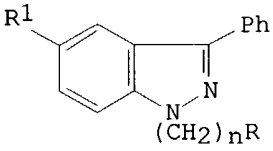
L28 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1977:453281 CAPLUS
DOCUMENT NUMBER: 87:53281
TITLE: Indazole derivatives
INVENTOR(S): Fujimura, Yasuo; Nagano, Hiroyuki; Shindo, Minoru; Kakimoto, Morio; Iwasaki, Tsuneo; Ikeda, Yugo
PATENT ASSIGNEE(S): Chugai Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent

1449

LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52014765	A2	19770203	JP 1975-90172	19750725
JP 59036627	B4	19840905		

PRIORITY APPLN. INFO.: JP 1975-90172 19750725
GI



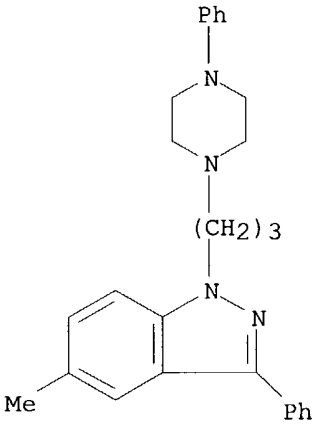
I, R=NR2R3
II, R=X

AB Twenty indazole derivs. I (R1 = H, Me, Cl, Br; R2, R3 = H, Me, Et, H2C:CHCH2, PhCH2; R2R3N may form a morpholino, piperidino, or 4-substituted piperazino group; n = 2, 3) were prepared by reaction of II (X = halo) with R2R3NH. I had central nervous system depressant, antidepressant, and antiinflammatory activities (no data). Thus, refluxing 3.4 g II (R1 = Cl, X = Br, n = 2) (prepared by reaction of 3-phenyl-5-chloroindazole with 1,2-dibromoethane in DMF containing NaH) with 1.83 g morpholine 10 h gave 2.8 g I (R1 = Cl, R2R3N = morpholino, n = 2), which was converted into its hydrochloride.

IT **63380-46-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 63380-46-1 CAPLUS

CN 1H-Indazole, 5-methyl-3-phenyl-1-[3-(4-phenyl-1-piperazinyl)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

ACCESSION NUMBER: 1976:31053 CAPLUS
DOCUMENT NUMBER: 84:31053
TITLE: Indazole derivatives
INVENTOR(S): Fujimura, Yasuo; Nagano, Hiroyuki; Shindo, Minoru; Kakimoto, Morio; Iwasaki, Tsuneo; Ikeda, Yugo
PATENT ASSIGNEE(S): Chugai Pharmaceutical Co., Ltd., Japan
SOURCE: Ger. Offen., 27 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2503815	A1	19750807	DE 1975-2503815	19750130
DE 2503815	C2	19860522		
JP 50106958	A2	19750822	JP 1974-12184	19740131
JP 56037984	B4	19810903		
JP 50148355	A2	19751127	JP 1974-55000	19740518
JP 59022708	B4	19840528		
JP 50154244	A2	19751212	JP 1974-61853	19740603
JP 56052904	B4	19811215		
JP 51056446	A2	19760518	JP 1974-129521	19741112
JP 60003063	B4	19850125		
JP 51063172	A2	19760601	JP 1974-135184	19741126
JP 59044313	B4	19841029		
GB 1489280	A	19771019	GB 1975-2247	19750117
FR 2259601	A1	19750829	FR 1975-2955	19750130
FR 2259601	B1	19800111		

PRIORITY APPLN. INFO.:
JP 1974-12184 19740131
JP 1974-55000 19740518
JP 1974-61853 19740603
JP 1974-129521 19741112
JP 1974-135184 19741126

OTHER SOURCE(S): CASREACT 84:31053

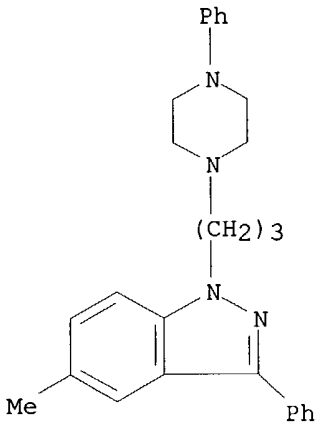
GI For diagram(s), see printed CA Issue.

AB Indazoles I (R = R1 = H, Me, Et; R = H, R1 = Me, Bu, allyl; NRR1 = piperidino, morpholino, N-methylpiperazino, N-phenylpiperazino, 2-(4-chlorophenyl-4-methyl-1,2,3,6-tetrahydropyridino, pyrrolidino; R2 = H, Cl, Me, Br, F; n = 1-3) were prepared by treating indazoles with Cl(CH2)nNRR1, by Mannich reaction of indazoles, or by reduction of carbamoylalkylindazoles. Thus, 3-phenylindazole was treated with Me2NCH2CH2Cl.HCl to give I (R = R1 = Me, R2 = H, n = 2), which at 100 mg/kg orally in mice had a barbiturate potentiation value of 3.0, compared with imipramine 1.3. I were also antidepressant.

IT **57614-55-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 57614-55-8 CAPLUS

CN 1H-Indazole, 5-methyl-3-phenyl-1-[3-(4-phenyl-1-piperazinyl)propyl]-, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

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